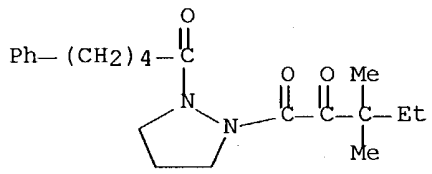
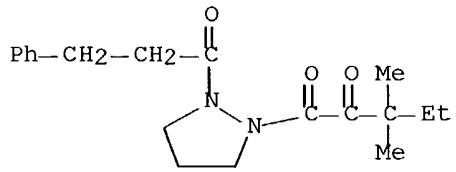
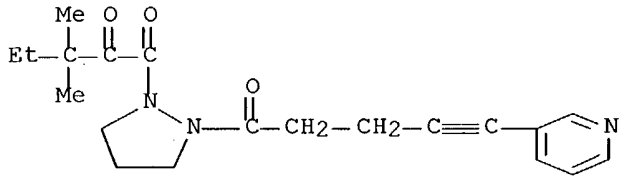
L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:788599 CAPLUS Full-text
DN 140:122088
TI Synthesis, molecular modeling and biological evaluation of aza-proline and aza-pipecolic derivatives as FKBP12 ligands and their in vivo neuroprotective effects
AU Wilkinson, Douglas E.; Thomas, Bert E.; Limburg, David C.; Holmes, Agnes; Sauer, Hansjorg; Ross, Douglas T.; Soni, Raj; Chen, Yi; Guo, Hong; Howorth, Pamela; Valentine, Heather; Spicer, Dawn; Fuller, Mike; Steiner, Joseph P.; Hamilton, Gregory S.; Wu, Yong-Qian
CS Department of Research, Guilford Pharmaceuticals, Inc., Baltimore, MD, 21224, USA
SO Bioorganic & Medicinal Chemistry (2003), 11(22), 4815-4825
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB Nonimmunosuppressant ligands, exemplified by GPI 1046, for the peptidyl-prolyl isomerase FKBP12 have been found to unexpectedly possess powerful neuroprotective and neuroregenerative effects in vitro and in vivo. We have extensively explored the therapeutic utility of FKBP12 ligands based on analogs of proline and pipecolic acid. As part of our ongoing program to explore novel structural classes of FKBP12 ligands, we herein wish to report a new class of FKBP12 ligands containing aza-proline and aza-pipecolic acid analogs. Details of the synthetic studies, together with biol. activity will be presented.
IT **340255-68-7P 340255-88-1P 340255-89-2P**
340255-90-5P 340255-91-6P 340255-92-7P
340255-94-9P 340255-95-0P 340255-96-1P
340255-99-4P 340256-00-0P 340256-02-2P
340256-03-3P 340256-04-4P 648958-29-6P
648958-30-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(aza-proline and aza-pipecolic derivs. as FKBP12 ligands with neuroprotective effects)
RN 340255-68-7 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)-(9CI) (CA INDEX NAME)



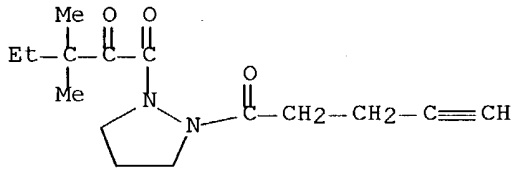
RN 340255-88-1 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-3-phenylpropyl)-(9CI) (CA INDEX NAME)



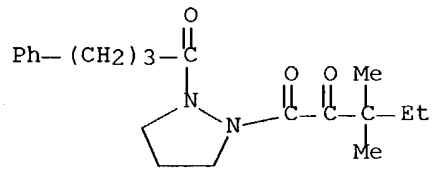
RN 340255-89-2 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-[1-oxo-5-(3-pyridinyl)-4-pentynyl]- (9CI) (CA INDEX NAME)



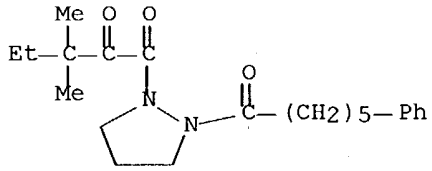
RN 340255-90-5 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-pentynyl)-(9CI)(CA INDEX NAME)



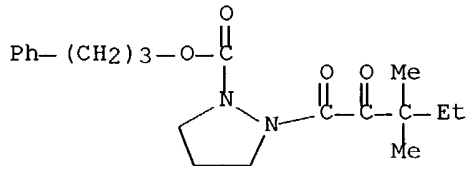
RN 340255-91-6 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-phenylbutyl)-(9CI) (CA INDEX NAME)



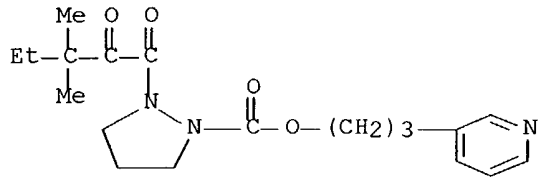
RN 340255-92-7 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-6-phenylhexyl)-(9CI) (CA INDEX NAME)



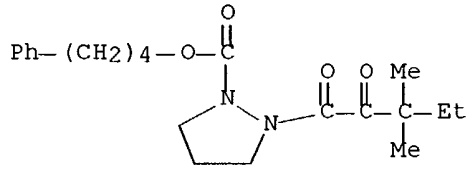
RN 340255-94-9 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



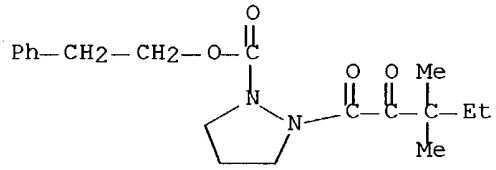
RN 340255-95-0 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)



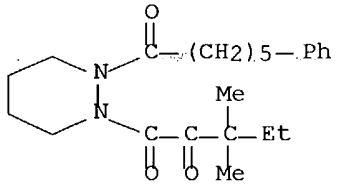
RN 340255-96-1 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



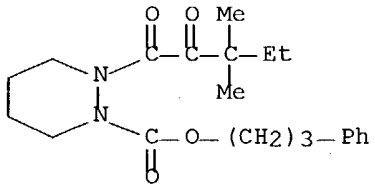
RN 340255-99-4 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)



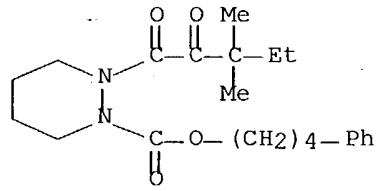
RN 340256-00-0 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-6-phenylhexyl)- (9CI) (CA INDEX NAME)



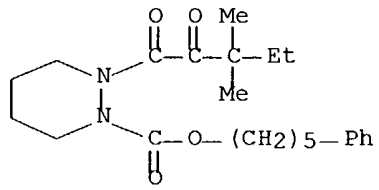
RN 340256-02-2 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



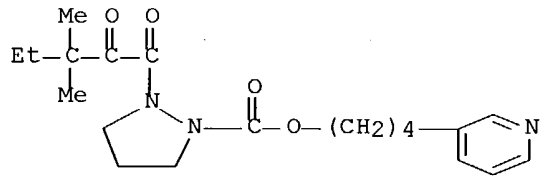
RN 340256-03-3 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



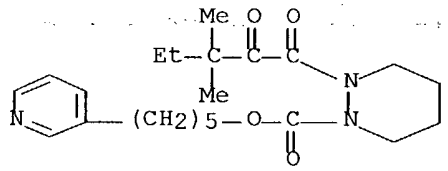
RN 340256-04-4 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 5-phenylpentyl ester (9CI) (CA INDEX NAME)



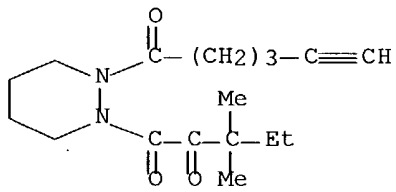
RN 648958-29-6 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 4-(3-pyridinyl)butyl ester (9CI) (CA INDEX NAME)



RN 648958-30-9 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 5-(3-pyridinyl)pentyl ester (9CI) (CA INDEX NAME)

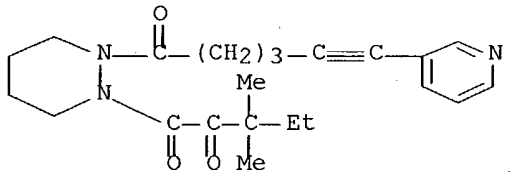


IT **340256-19-1P 340256-20-4P 648958-45-6P 648958-46-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(aza-proline and aza-pipecolic derivs. as FKBP12 ligands with neuroprotective effects)
RN 340256-19-1 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-hexynyl)-(9CI) (CA INDEX NAME)

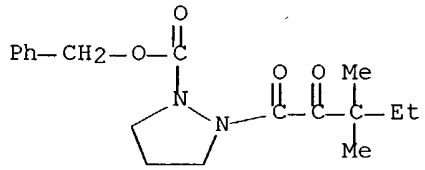


RN 340256-20-4 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-

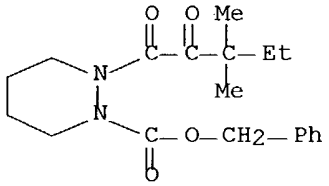
pyridinyl)-5-hexynyl]- (9CI) (CA INDEX NAME)



RN 648958-45-6 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 648958-46-7 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, phenylmethyl ester (9CI) (CA INDEX NAME)



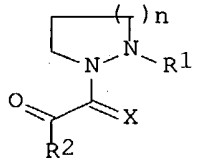
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

App's

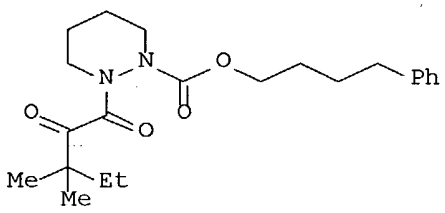
L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:172490 CAPLUS Full-text
DN 136:232310
TI Preparation of N-substituted cyclic aza compounds having neuronal activity
IN Wu, Yong-qian; Huang, Wei; Hamilton, Gregory S.
PA USA
SO U.S. Pat. Appl. Publ., 54 pp., Cont.-in-part of U. S. Ser. No. 551,618. CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002028814	A1	20020307	US 2001-835523	20010417
	US 6417189	B1	20020709	US 2000-551618	20000417
PRAI	US 1999-164950P	P	19991112		
	US 2000-551618	A2	20000417		

OS MARPAT 136:232310
GI



I



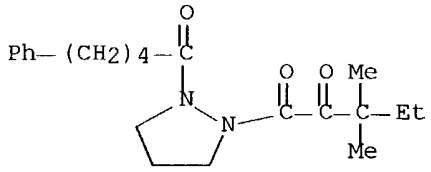
II

AB Title compds. I [n = 1-3; R1 = CR3, CO2R3, COR3, etc.; R2, R3 = H, alkyl, alkenyl, etc.; X = O, S], useful for effecting neuronal activities, were prepared Thus, II was prepared via a multi-step synthesis from tert-Bu 2-benzylperhydropyridazinecarboxylate. Biol. data for I (results of test for rotamase inhibition and MPTP model of Parkinson's disease) were given. E.g., II possessed a Ki value of 1175 nM in inhibition studies of rotamase and a 14% TH recovery in MPTP models.

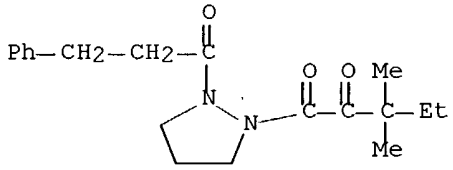
IT **340255-68-7P 340255-88-1P 340255-89-2P**
340255-90-5P 340255-91-6P 340255-92-7P
340255-93-8P 340255-94-9P 340255-95-0P
340255-96-1P 340255-99-4P 340256-00-0P
340256-01-1P 340256-02-2P 340256-03-3P
340256-04-4P 340256-07-7P 340256-09-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of N-substituted cyclic aza compds. having neuronal activity)

RN 340255-68-7 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)-

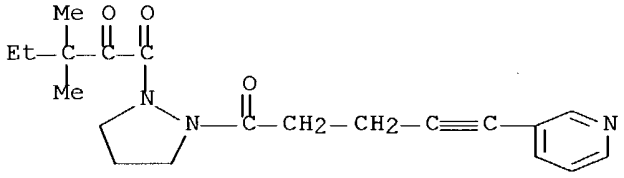
(9CI) (CA INDEX NAME)



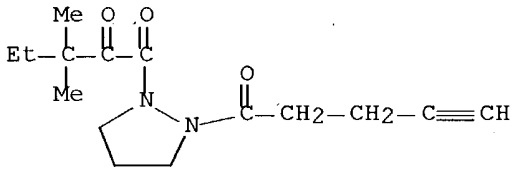
RN 340255-88-1 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-3-phenylpropyl)-
(9CI) (CA INDEX NAME)



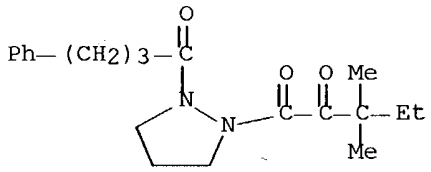
RN 340255-89-2 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-[1-oxo-5-(3-pyridinyl)-
4-pentynyl]- (9CI) (CA INDEX NAME)



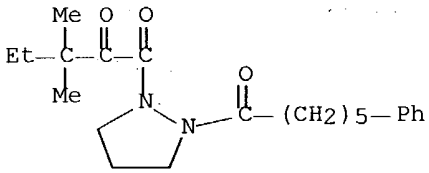
RN 340255-90-5 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-pentynyl)-
(9CI)(CA INDEX NAME)



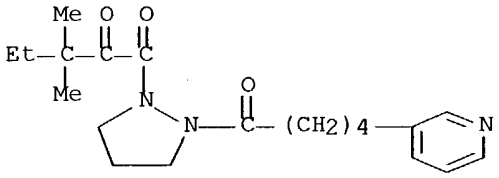
RN 340255-91-6 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-phenylbutyl)-
(9CI) (CA INDEX NAME)



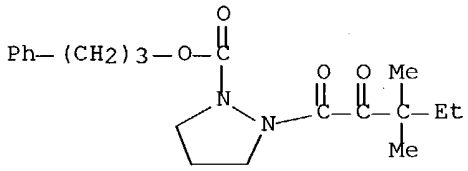
RN 340255-92-7 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-6-phenylhexyl)-
(9CI) (CA INDEX NAME)



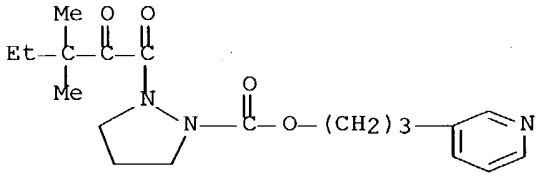
RN 340255-93-8 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-[1-oxo-5-(3-pyridinyl)pentyl]- (9CI) (CA INDEX NAME)



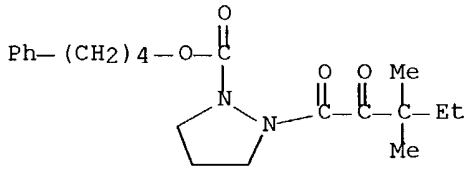
RN 340255-94-9 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



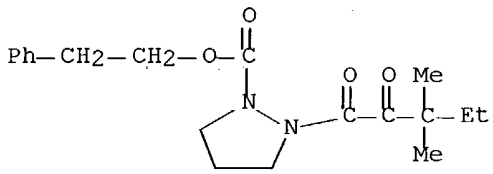
RN 340255-95-0 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)



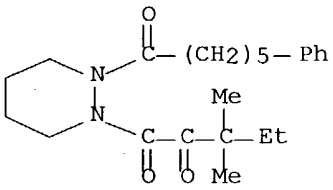
RN 340255-96-1 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



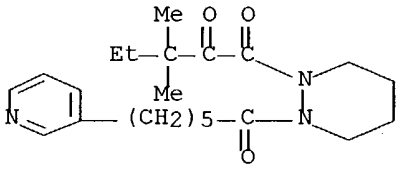
RN 340255-99-4 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)



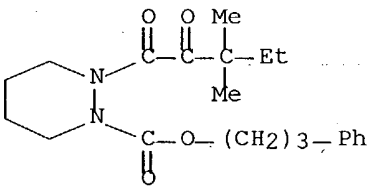
RN 340256-00-0 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-6-phenylhexyl)- (9CI) (CA INDEX NAME)



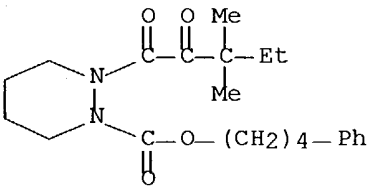
RN 340256-01-1 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-pyridinyl)hexyl]- (9CI) (CA INDEX NAME)



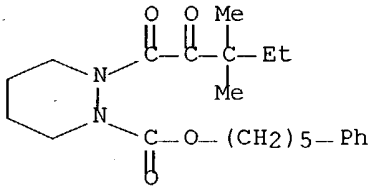
RN 340256-02-2 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



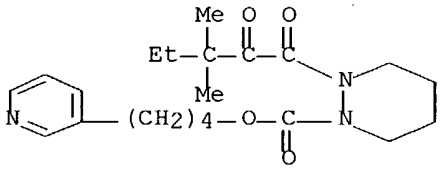
RN 340256-03-3 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



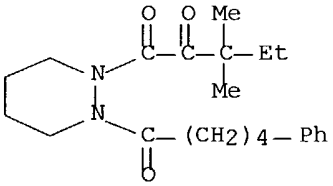
RN 340256-04-4 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 5-phenylpentyl ester (9CI) (CA INDEX NAME)



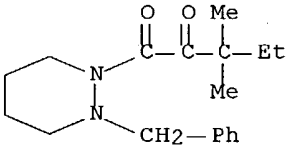
RN 340256-07-7 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-(3-pyridinyl)butyl ester (9CI) (CA INDEX NAME)



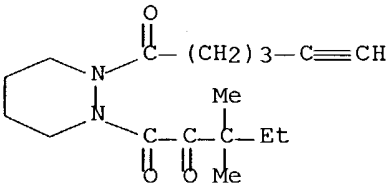
RN 340256-09-9 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-phenylpentyl)- (9CI) (CA INDEX NAME)



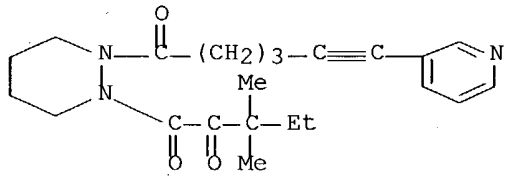
IT **340256-17-9P 340256-19-1P 340256-20-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation of N-substituted cyclic aza compds. having neuronal activity)
RN 340256-17-9 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(phenylmethyl)-(9CI) (CA INDEX NAME)



RN 340256-19-1 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-hexynyl)-(9CI) (CA INDEX NAME)



RN 340256-20-4 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-pyridinyl)-5-hexynyl]- (9CI) (CA INDEX NAME)

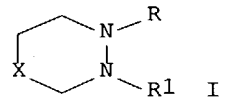


Apps

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:780859 CAPLUS Full-text
DN 135:331433
TI Preparation of cyclic diaza compounds for treating neurodegenerative disorders
IN Wu, Yong-Qian; Huang, Wei; Hamilton, Gregory S.
PA GPI NIL Holdings, Inc., USA
SO PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001079177	A1	20011025	WO 2001-US12322	20010417
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6417189	B1	20020709	US 2000-551618	20000417
PRAI	US 2000-551618	A	20000417		
	US 1999-164950P	P	19991112		

OS MARPAT 135:331433
GI



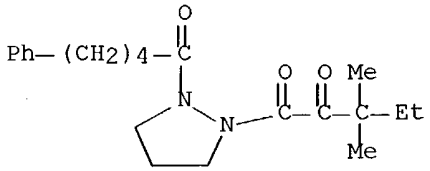
I

AB Title compds. [I;X = bond, CH2; R = COY(CH2)nC6H5, 5-(3-pyridyl)-pent-4-ynoyl, NCCCCH2CH2CO, 5-(3-pyridyl)-pentanoyl, 3-(3-pyridyl)-propoxycarbonyl; Y = O, bond; n = 5, 4, 3, 2; R1 = C6H5CH2SO2, (CH3CH2)(CH3)2CCOCO, C6H5CH2SO2, cyclohexylaminocarbonyl] are prepared for pharmaceutical compns. comprising such compds. and methods of their use for effecting neuronal activities. Thus, the title compound I (X = bond; Y = bond; n = 4; R = COY(CH2)nC6H5; R1 = (CH3CH2)(CH3)2CCOCO) was prepared and biol. tested in mice for MPTP model of Parkinson's disease and showed recovery of TH-stained dopaminergic neurons.

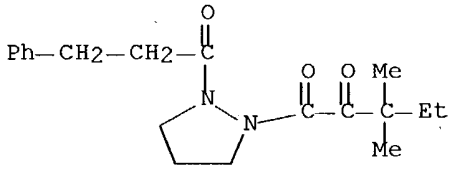
IT **340255-68-7P 340255-88-1P 340255-89-2P**
340255-91-6P 340255-92-7P 340255-93-8P
340255-94-9P 340255-95-0P 340255-96-1P
340255-99-4P 340256-00-0P 340256-01-1P
340256-02-2P 340256-03-3P 340256-04-4P
340256-07-7P 340256-09-9P 369390-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(preparation of cyclic diaza compds. for treating neurodegenerative disorders)

RN 340255-68-7 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)-

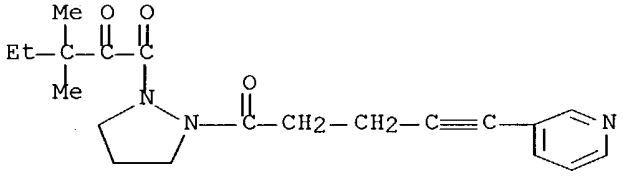
(9CI) (CA INDEX NAME)



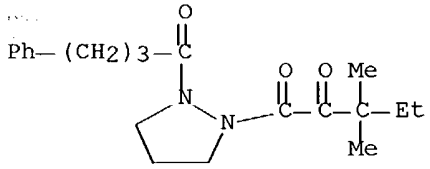
RN 340255-88-1 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-3-phenylpropyl)-
(9CI) (CA INDEX NAME)



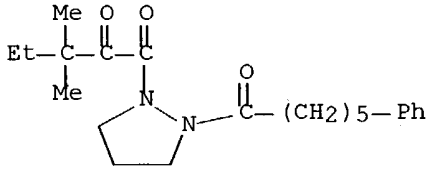
RN 340255-89-2 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-[1-oxo-5-(3-pyridinyl)-
4-pentynyl]- (9CI) (CA INDEX NAME)



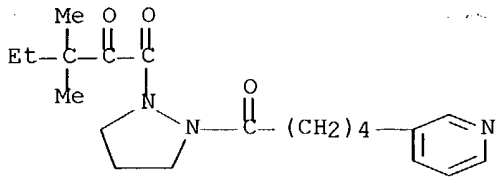
RN 340255-91-6 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-phenylbutyl)-
(9CI) (CA INDEX NAME)



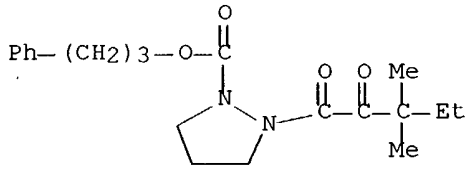
RN 340255-92-7 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-6-phenylhexyl)-
(9CI) (CA INDEX NAME)



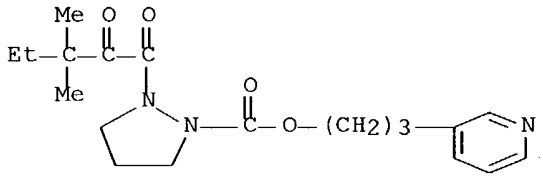
RN 340255-93-8 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-[1-oxo-5-(3-
pyridinyl)pentyl]- (9CI) (CA INDEX NAME)



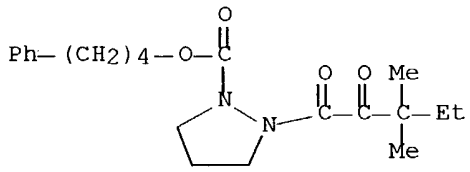
RN 340255-94-9 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



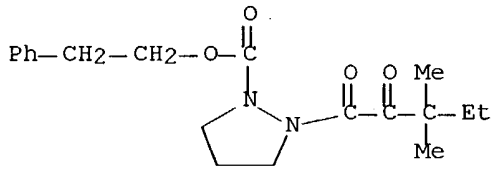
RN 340255-95-0 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)



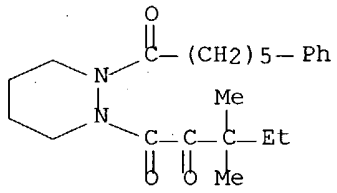
RN 340255-96-1 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



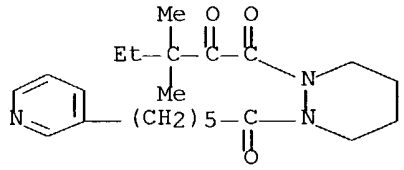
RN 340255-99-4 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)



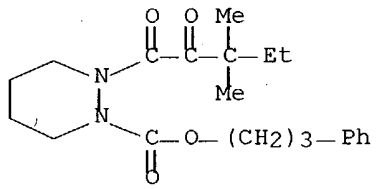
RN 340256-00-0 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-6-phenylhexyl)- (9CI) (CA INDEX NAME)



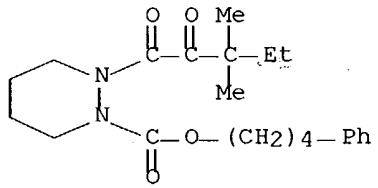
RN 340256-01-1 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-pyridinyl)hexyl]- (9CI) (CA INDEX NAME)



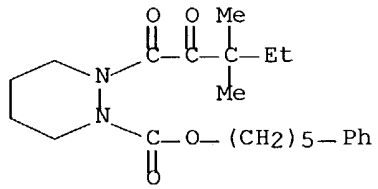
RN 340256-02-2 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



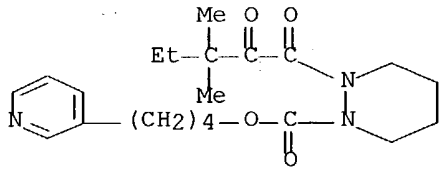
RN 340256-03-3 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



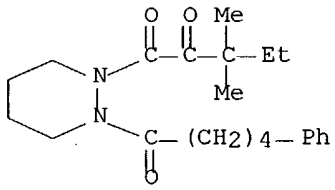
RN 340256-04-4 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 5-phenylpentyl ester (9CI) (CA INDEX NAME)



RN 340256-07-7 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-(3-pyridinyl)butyl ester (9CI) (CA INDEX NAME)



RN 340256-09-9 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-phenylpentyl)- (9CI) (CA INDEX NAME)



RN 369390-81-8 CAPLUS
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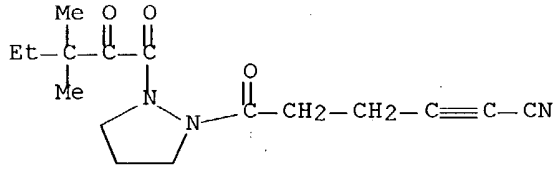
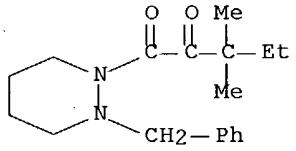
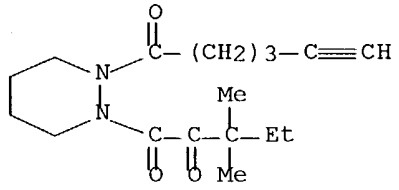


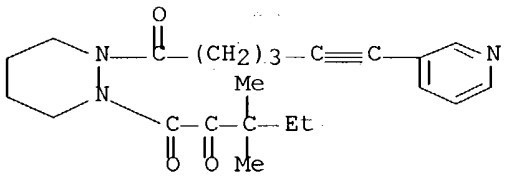
IT **340256-17-9P 340256-19-1P 340256-20-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation of cyclic diaza compds. for treating neurodegenerative disorders)
RN 340256-17-9 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 340256-19-1 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-hexynyl)-(9CI) (CA INDEX NAME)



RN 340256-20-4 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-pyridinyl)-5-hexynyl]- (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

Appl's

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:380557 CAPLUS Full-text
DN 134:366884
TI Preparation of N-substituted cyclic aza compounds having neuronal activity
IN Wu, Yong-Qian; Huang, Wei; Hamilton, Gregory S.
PA GPI Nil Holdings, Inc., USA
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001036388	A1	20010525	WO 2000-US23603	20000828
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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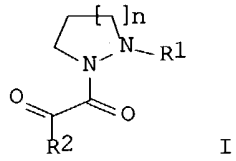
	EP 1242383	A1	20020925	EP 2000-957870	20000828
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003514799	T2	20030422	JP 2001-538878	20000828
PRAI	US 1999-164950P	P	19991112		
	US 2000-551618	A	20000417		
	WO 2000-US23603	W	20000828		

OS MARPAT 134:366884
GI



I

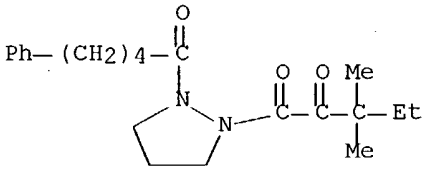
AB The title compds. [I; n = 1-3; R1 = CR3, CO2R3, COR3, etc.; R2, R3 = H, alkyl, alkenyl, etc.; X = O, S], useful for effecting neuronal activities, were prepared E.g., a multi-step synthesis of I [n = 2; R1 = CO2(CH2)4Ph; R2 = CMe2Et; X = O] was described. Biol. data for compds. I (results of test for rotamase inhibition and MPTP model of Parkinson's disease) were given.

IT **340255-68-7P 340255-88-1P 340255-89-2P**
340255-90-5P 340255-91-6P 340255-92-7P
340255-93-8P 340255-94-9P 340255-95-0P
340255-96-1P 340255-99-4P 340256-00-0P
340256-01-1P 340256-02-2P 340256-03-3P
340256-04-4P 340256-07-7P 340256-09-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)(preparation of N-substituted cyclic aza compds. having neuronal activity)

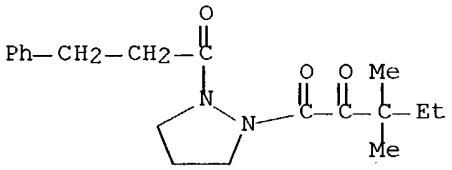
RN 340255-68-7 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-5-phenylpentyl)-(9CI) (CA INDEX NAME)



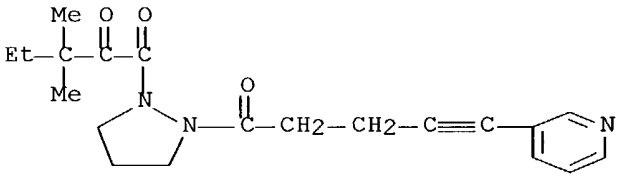
RN 340255-88-1 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-3-phenylpropyl)-(9CI) (CA INDEX NAME)



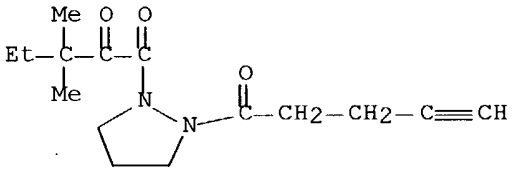
RN 340255-89-2 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-[1-oxo-5-(3-pyridinyl)-4-pentynyl]- (9CI) (CA INDEX NAME)



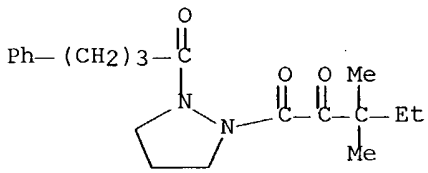
RN 340255-90-5 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-pentynyl)-(9CI)(CA INDEX NAME)



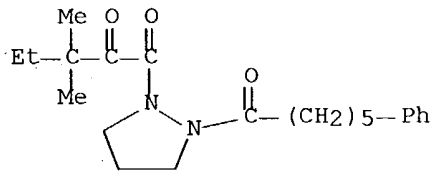
RN 340255-91-6 CAPLUS

CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-4-phenylbutyl)-(9CI) (CA INDEX NAME)

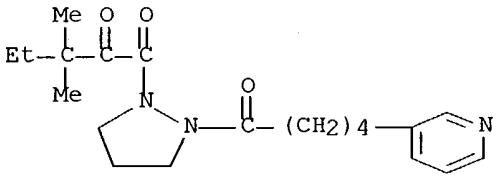


RN 340255-92-7 CAPLUS

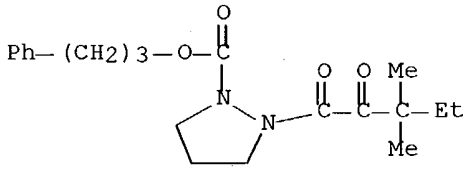
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-(1-oxo-6-phenylhexyl)-(9CI) (CA INDEX NAME)



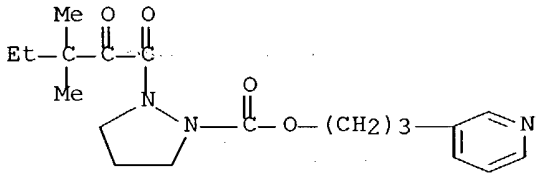
RN 340255-93-8 CAPLUS
CN Pyrazolidine, 1-(3,3-dimethyl-1,2-dioxopentyl)-2-[1-oxo-5-(3-pyridinyl)pentyl]- (9CI) (CA INDEX NAME)



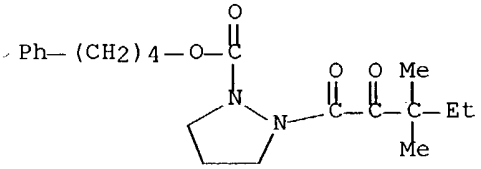
RN 340255-94-9 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



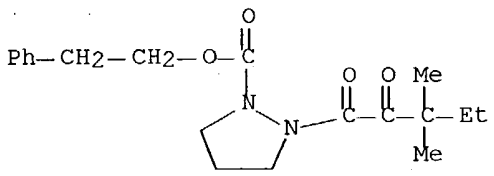
RN 340255-95-0 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 3-(3-pyridinyl)propyl ester (9CI) (CA INDEX NAME)



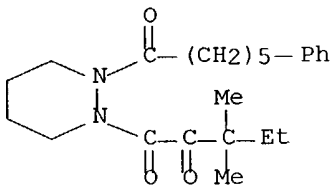
RN 340255-96-1 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



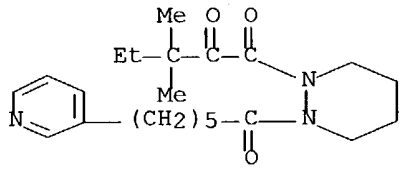
RN 340255-99-4 CAPLUS
CN 1-Pyrazolidinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)-, 2-phenylethyl ester (9CI) (CA INDEX NAME)



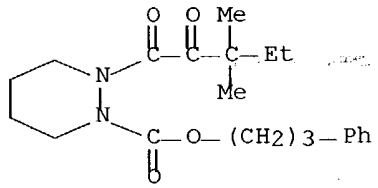
RN 340256-00-0 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-6-phenylhexyl)- (9CI) (CA INDEX NAME)



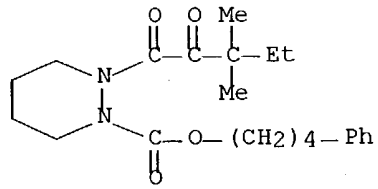
RN 340256-01-1 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-pyridinyl)hexyl]- (9CI) (CA INDEX NAME)



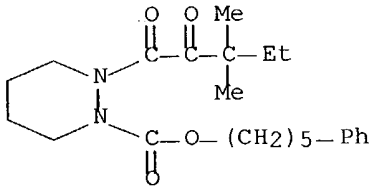
RN 340256-02-2 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 3-phenylpropyl ester (9CI) (CA INDEX NAME)



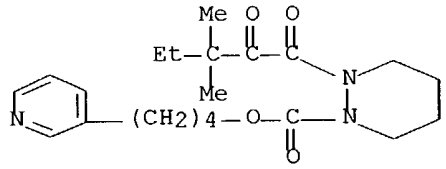
RN 340256-03-3 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-phenylbutyl ester (9CI) (CA INDEX NAME)



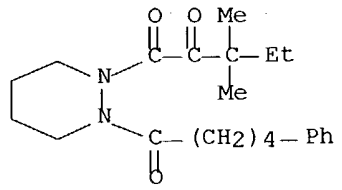
RN 340256-04-4 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 5-phenylpentyl ester (9CI) (CA INDEX NAME)



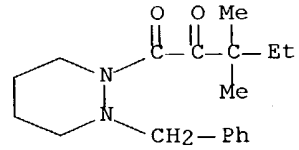
RN 340256-07-7 CAPLUS
CN 1(2H)-Pyridazinecarboxylic acid, 2-(3,3-dimethyl-1,2-dioxopentyl)tetrahydro-, 4-(3-pyridinyl)butyl ester (9CI) (CA INDEX NAME)



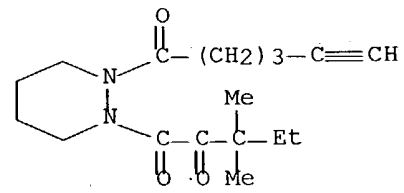
RN 340256-09-9 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-phenylpentyl)- (9CI) (CA INDEX NAME)



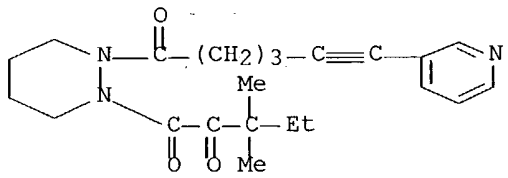
IT **340256-17-9P 340256-19-1P 340256-20-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation of N-substituted cyclic aza compds. having neuronal activity)
RN 340256-17-9 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 340256-19-1 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-(1-oxo-5-hexynyl)- (9CI) (CA INDEX NAME)



RN 340256-20-4 CAPLUS
CN Pyridazine, 1-(3,3-dimethyl-1,2-dioxopentyl)hexahydro-2-[1-oxo-6-(3-pyridinyl)-5-hexynyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:119880 CAPLUS Full-text
DN 106:119880
TI 7-Substituted bicyclic pyrazolidinones, their preparation, and their use as antibacterials
IN Jungheim, Louis Nickolaus; Sigmund, Sandra Kay; Holmes, Richard Elmer; Barnett, Charles Jackson; Ternansky, Robert John
PA Eli Lilly and Co., USA
SO Eur. Pat. Appl., 337 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 202046	A1	19861120	EP 1986-303174	19860428
	EP 202046	B1	19910130		
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	CN 86103619	A	19861029	CN 1986-103619	19860428
	AU 8656755	A1	19861113	AU 1986-56755	19860428
	DK 8601930	A	19870120	DK 1986-1930	19860428
	HU 40660	A2	19870128	HU 1986-1763	19860428
	ZA 8603170	A	19871230	ZA 1986-3170	19860428
	ES 554463	A1	19880216	ES 1986-554463	19860428
	CA 1274832	A1	19901002	CA 1986-507777	19860428
	AT 60605	E	19910215	AT 1986-303174	19860428
	JP 61254589	A2	19861112	JP 1986-100817	19860430
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	US 4716232	A	19871229	US 1986-862913	19860514
	US 4734505	A	19880329	US 1986-862909	19860514
	US 4734504	A	19880329	US 1986-862918	19860514
	JP 63112583	A2	19880517	JP 1986-258084	19861028
	US 4795815	A	19890103	US 1987-114897	19871029
	ZA 8802604	A	19891227	ZA 1988-2604	19880413
	US 4940718	A	19900710	US 1989-418782	19891002
	US 5011938	A	19910430	US 1990-503574	19900403
PRAI	US 1985-729021		19850430		
	EP 1986-303174		19860428		
	US 1986-862906		19860514		
	US 1986-862916		19860514		
	US 1987-42196		19870423		
	US 1987-103488		19870930		
	US 1989-418782		19891002		

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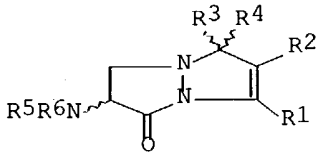

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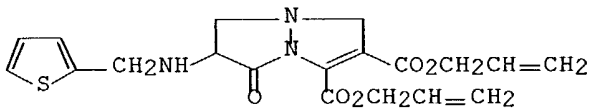


II

AB The title compds. I [1 of R1, R2 = H, halo, C1-6 (un)substituted alkyl, perfluoro C2-4 alkyl, C7-12 (un)substituted aralkyl, (un)substituted Ph,

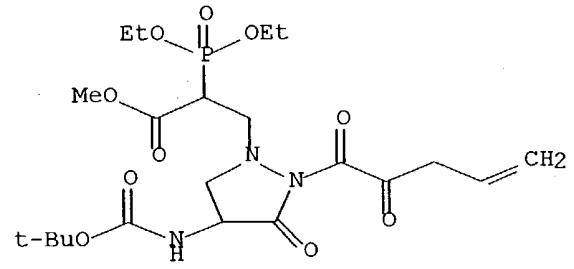
heterocyclyl, NO2, cyano, CX3 (X = F, Cl, Br, iodo), S(O)zR7 [z = 0-2; R7 = C1-6 (un)substituted alkyl, Ph, C7-12 arylalkyl, heterocyclyl], COR8 [R8 = H, C1-6 (un)substituted alkyl, perfluoro C2-4 alkyl, CCl3, etc.], CO2R9 [R9 = H, cation, C1-6 (un)substituted alkyl, etc.], PO3(R10)2 [R10 = H, cation, C1-6 (un)substituted alkyl, etc.], CH2N+.tplbond.Q (N+.tplbond.Q = quaternary ammonium group), heterocyclylthiomethyl, OR11 [R11 = H, C1-6 (un)substituted alkyl, etc.], NR12R13 [R12, R13 = H, C1-6 (un)substituted alkyl, etc.], CO2R14 (R14 = C1-6 alkyl, C7-12 arylalkyl, Ph); the other of R1, R2 = CO2R15 (R15 = cation, CO2H-protecting group, non-toxic, metabolically labile ester-forming group; R3, R4 = H, C1-6 (un)substituted alkyl, C7-12 (un)substituted arylalkyl, (un)substituted Ph, CO2R9; R5, R6 = H, amino protecting group, C1-30 acyl; at least 1 of R5, R6 = H; R5R6N = phthalimido] and their pharmaceutically acceptable salts, useful as antibacterials (no data), were prepared Me 3-hydroxy-2(S)-(tert-butoxycarbonylamino)propionate was tosylated and the product cyclocondensed with N2H4 to give 48% 4(R,S)-(tert-butoxycarbonylamino)-3- oxo-1-pyrazoline. Treatment with 37% aqueous HCHO gave the 1-methylenepyrazolidinium ylide, which underwent cycloaddn. with diallyl butynedioate to give 32.8% diallyl 7(R,S)-(tert-butoxycarbonylamino)-8-oxo- 1,5-diazabicyclo[3.3.0]oct-2-ene-2,3-dicarboxylate. This was deprotected and the free amino group acylated with 2-thienylacetyl chloride to give 62% 7(R,S)-II.

IT **106892-69-7P 106892-71-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(preparation and cyclization of)

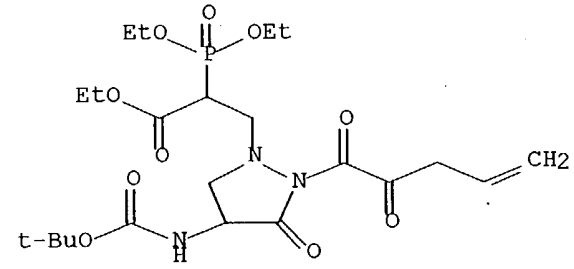
RN 106892-69-7 CAPLUS

CN 1-Pyrazolidinepropanoic acid, α-(diethoxyphosphinyl)-4-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(1,2-dioxo-4-pentenyl)-3-oxo-, methyl ester (9CI) (CA INDEX NAME)

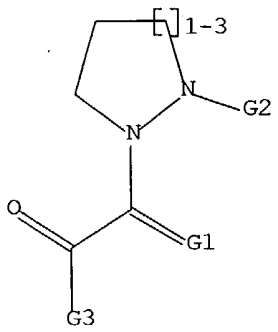


RN 106892-71-1 CAPLUS

CN 1-Pyrazolidinepropanoic acid, α-(diethoxyphosphinyl)-4-[[(1,1-dimethylethoxy)carbonyl]amino]-2-(1,2-dioxo-4-pentenyl)-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



=> d l1; d his; log y
L1 HAS NO ANSWERS
L1 STR



G1 O,S
G2 C,O,S,N,P
G3 H,Cb,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 18:38:10 ON 29 SEP 2004)

FILE 'REGISTRY' ENTERED AT 18:38:19 ON 29 SEP 2004
L1 STRUCTURE UPLOADED
L2 3 S L1
L3 28 S L1 FUL

FILE 'CAPLUS' ENTERED AT 18:38:48 ON 29 SEP 2004
L4 5 S L3

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	24.68	180.31
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.50	-3.50

STN INTERNATIONAL LOGOFF AT 18:40:03 ON 29 SEP 2004